

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
17 July 2003 (17.07.2003)

PCT

(10) International Publication Number
WO 03/057698 A3

(51) International Patent Classification⁷: **C07D 471/10**,
498/10, 513/10, 519/00, A61K 31/438, 31/537, A61P
25/00, 9/00 // (C07D 471/10, 221:00, 209:00) (C07D
498/10, 263:00, 221:00) (C07D 498/10, 265:00, 221:00)

(21) International Application Number: PCT/US02/41476

(22) International Filing Date:
23 December 2002 (23.12.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/344,750 28 December 2001 (28.12.2001) US

(71) Applicant: **ACADIA PHARMACEUTICALS, INC.**
[US/US]; 3911 Sorrently Valley Blvd., San Diego, CA
92121-1402 (US).

(72) Inventor: **SCHLIENGER, Nathalie**; Falstervej 2, ltv,
DK-2000 Frederiksberg (DK).

(74) Agent: **HUNT, Dale, C.**; Knobbe, Martens, Olson & Bear,
LLP, 2040 Main Street, 14th Floor, Irvine, CA 92614 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT (util-
ity model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (util-
ity model), DE, DK (utility model), DK, DM, DZ, EC, EE
(utility model), EE, ES, FI (utility model), FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK (utility model), SK, SL, TJ, TM, TN, TR,
TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK,
TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:
— with international search report

(88) Date of publication of the international search report:
31 July 2003

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: SPIROAZACYCLIC COMPOUNDS AS MONOAMINE RECEPTOR MODULATORS

(57) Abstract: The present invention relates to spiroazacyclic compounds as monoamine receptor modulators; compositions comprising the same; methods of inhibiting an activity of a monoamine receptor with said compounds; methods of treating a disease condition associated with a monoamine receptor using said compounds; and methods for identifying a subject suitable for treatment using said compounds.



WO 03/057698 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 02/41476

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/10 C07D498/10 C07D513/10 C07D519/00 A61K31/438
A61K31/537 A61P25/00 A61P9/00 //(C07D471/10,221:00,
209:00),(C07D498/10,263:00,221:00),(C07D498/10,265:00,221:00),

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 4 255 432 A (KLUGE ARTHUR F ET AL) 10 March 1981 (1981-03-10) column 2, line 1 - line 26; claims 1,11	1,57
A	US 4 353 900 A (CLARK ROBIN D) 12 October 1982 (1982-10-12) claims 1,20	1,57
A	EP 0 061 333 A (SYNTEX INC) 29 September 1982 (1982-09-29) claims 1,8	1,57

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

23 April 2003

Date of mailing of the international search report

08/05/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 02/41476

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 (C07D471/10, 235:00, 221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

° Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

23 April 2003

Date of mailing of the international search report

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 02/41476

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 39 - 52 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound.

Although claims 53 - 55 are directed to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 02/41476

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 4255432	A	10-03-1981	NONE	
US 4353900	A	12-10-1982	NONE	
EP 0061333	A	29-09-1982	US 4332804 A	01-06-1982
			AT 17249 T	15-01-1986
			AU 557094 B2	04-12-1986
			AU 8176982 A	30-09-1982
			BR 8201589 A	08-02-1983
			DE 3268225 D1	13-02-1986
			DK 129282 A	24-09-1982
			EP 0061333 A1	29-09-1982
			ES 8306373 A1	16-08-1983
			FI 820998 A	24-09-1982
			IE 52652 B1	06-01-1988
			IL 65310 A	31-03-1985
			JP 57169485 A	19-10-1982
			NO 820937 A	24-09-1982
			NZ 200085 A	12-07-1985
			PH 17233 A	03-07-1984
			PL 235561 A1	25-10-1982
			PT 74626 A , B	01-04-1982
			ZA 8201929 A	30-11-1983